

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
13 October 2005 (13.10.2005)

PCT

(10) International Publication Number
WO 2005/095358 A3

(51) International Patent Classification⁷: **C07D 239/46**

(21) International Application Number:
PCT/GB2005/001188

(22) International Filing Date: 29 March 2005 (29.03.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0401001-3 31 March 2004 (31.03.2004) SE

(71) Applicant (for AE, AG, AL, AM, AT, AU, AZ, BA, BB, BE, BF, BG, BJ, BR, BW, BY, BZ, CA, CF, CG, CH, CI, CM, CN, CO, CR, CU, CY, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, FR, GA, GB, GD, GE, GH, GM, GN, GQ, GR, GW, HR, HU, ID, IE, IL, IN, IS, IT, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MC, MD, MK, ML, MN, MR, MW, MX, MZ, NA, NE, NI, NL, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK only): **ASTRAZENECA AB** [SE/SE]; S-SE-151 85 Sodertalje (SE).

(71) Applicant (for MG only): **ASTRAZENECA UK LIMITED** [GB/GB]; 15 Stanhope Gate, London Greater London W1K 1LN (GB).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **LARSSON, Ulf** [SE/SE]; AstraZeneca R & D Sodertalje, S-SE-151 85 Sodertalje (SE). **RADEVIK, Kajsa** [SE/SE]; AstraZeneca R & D Sodertalje, S-SE-151 85 Sodertalje (SE).

(74) Agent: **ASTRAZENECA**; Global Intellectual Property, S-SE-151 85 Sodertalje (SE).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

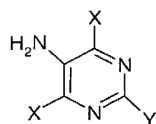
Published:

— with international search report

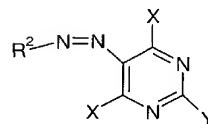
(88) Date of publication of the international search report:
5 January 2006

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

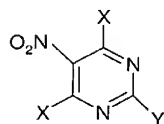
(54) Title: PROCESS FOR THE PREPARATION OF AMINOPYRIMIDINES



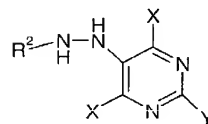
(I)



(III)



(II)



(IV)

(57) Abstract: The present invention provides a process for the preparation of a compound of formula (I); wherein X is halogen; Y is ZR¹; Z is oxygen or sulphur; and R¹ is C₁₋₆ alkyl, C₁₋₆ haloalkyl or C₃₋₇ cloalkyl; the process comprising either: hydrogenating a compound of formula (II); with a suitable transition metal catalyst in a C₁₋₆ aliphatic alcohol, an ether, an hydrocarbon as solvent; or, b) conducting a one-pot hydrogenation of a compound of formula (III); wherein R² is phenyl optionally substituted by chloro, C₁₋₆ alkyl, C₁₋₆ alkoxy or (C₁₋₆ alkyl)₂N; firstly at about 20°C to form a compound of formula (IV); and then at about 40°C; both steps (I) and (ii) being carried out in the presence of a suitable catalyst and in the presence of a suitable solvent.



WO 2005/095358 A3